ABSTRACT OF THE DISCLOSURE

This invention herein describes a method of facilitating the entry of drugs into cells and tissues at physiologically protected sites at pharmacokinetically useful levels and also a method of targeting drugs to physiologically protected sites *in vivo*. Also provided are drug conjugates with an amino acid or derivative thereof for facilitating such targeted drug delivery. The conjugates and methods of this invention provide an advance over other drug targeting methods known in the prior art, because the invention provides drug concentrations in such physiologically protected sites that can reach therapeutically-effective levels after administration of systemic levels much lower than are currently administered to achieve a therapeutic dose. This technology is appropriate for use with psychotropic, neurotropic, neurological, antibiotic, antibacterial, antimycotic, antiviral, antiproliferative or antineoplastic drugs, agents and conjugates, for rapid and efficient introduction of such agents across, *e.g.*, the blood-brain barrier. Further, the invention provides means for retention and prolonged enzymatic release of such drugs, agents and conjugates comprising the conjugates of the invention, in the brain and central nervous system and other physiologically-protected sites.